

- (viii)  $\text{C}_1\text{-C}_6$ - thioalkoxy -  $\text{C}_1\text{-C}_6$ - alkyl or benzyl- S -  $\text{C}_1\text{-C}_6$ - alkyl, (ix)  $\text{C}_1\text{-C}_6$ - alkylamino, (x) di -  $\text{C}_1\text{-C}_6$ - alkylamino, (xi) phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from halo,  $\text{C}_1\text{-C}_6$ - loweralkyl, hydroxy,  $\text{C}_1\text{-C}_6$ - alkoxy [and] benzyloxy,  $\text{C}_1\text{-C}_6$ - thioalkoxy and benzyl-S-, (xii) phenyl -  $\text{C}_1\text{-C}_6$ - alkyl wherein the phenyl ring is unsubstituted or substituted as defined above, (xiii) di -  $\text{C}_1\text{-C}_6$ - alkylamino -  $\text{C}_1\text{-C}_6$ - alkyl, (xiv)  $\text{C}_1\text{-C}_6$ - alkoxy or benzyloxy and (xv)  $\text{C}_1\text{-C}_6$ - thioalkoxy or benzyl-S-;

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n is 1, 2 or 3;

R<sub>2</sub> is hydrogen or  $\text{C}_1\text{-C}_6$ - loweralkyl;

R<sub>3</sub> is  $\text{C}_1\text{-C}_6$ - loweralkyl;

R<sub>4</sub> and R<sub>4a</sub> are independently selected from phenyl and substituted phenyl wherein the phenyl ring is substituted with a substituent selected from

- (i) halo, (ii)  $\text{C}_1\text{-C}_6$ - loweralkyl, (iii) hydroxy, (iv)  $\text{C}_1\text{-C}_6$ - alkoxy or benzyloxy and (v)  $\text{C}_1\text{-C}_6$ - thioalkoxy or benzyl-S-;

R<sub>6</sub> is hydrogen or  $\text{C}_1\text{-C}_6$ - loweralkyl;

R<sub>7</sub> is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted or substituted with  $\text{C}_1\text{-C}_6$ - loweralkyl;

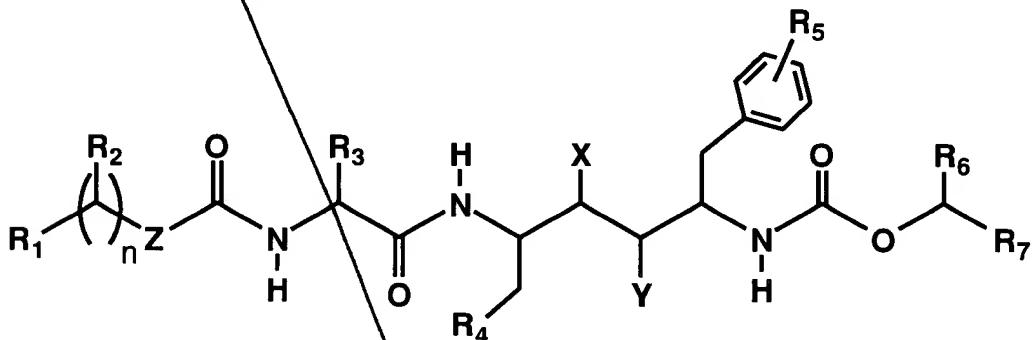
X is hydrogen and Y is -OH or X is -OH and Y is hydrogen, with the proviso that X is hydrogen and Y is -OH when Z is -N(R<sub>8</sub>)- and R<sub>7</sub> is unsubstituted and with the proviso that X is hydrogen and Y is -OH when R<sub>3</sub> is methyl and R<sub>7</sub> is unsubstituted; and

Z is absent, -O-, -S-, -CH<sub>2</sub>- or -N(R<sub>8</sub>)- wherein R<sub>8</sub> is  $\text{C}_1\text{-C}_6$ - loweralkyl,  $\text{C}_3\text{-C}_7$ - cycloalkyl, -OH or -NHR<sub>8a</sub> wherein R<sub>8a</sub> is hydrogen,  $\text{C}_1\text{-C}_6$ - loweralkyl or an N-protecting group; or a pharmaceutically acceptable salt, ester or prodrug thereof, wherein the acyl residue of the ester is (I) R<sup>\*</sup>C(O)- or R<sup>\*</sup>C(S)- wherein R<sup>\*</sup> is hydrogen, C<sub>1</sub>-C<sub>6</sub>- loweralkyl, halo-C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- alkoxy, benzyloxy, C<sub>1</sub>-C<sub>6</sub>- thioalkoxy, benzyl-S-, C<sub>1</sub>-C<sub>6</sub>- alkoxy-C<sub>1</sub>-C<sub>6</sub>- alkyl, benzyloxy-C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- thioalkoxy-C<sub>1</sub>-C<sub>6</sub>- alkyl, benzyl-S-C<sub>1</sub>-C<sub>6</sub>- alkyl or halo-C<sub>1</sub>-C<sub>6</sub>- alkoxy, (II) R<sub>a</sub>-C(R<sub>b</sub>)(R<sub>d</sub>)-C(O)- or R<sub>a</sub>-C(R<sub>b</sub>)(R<sub>d</sub>)-C(S)- wherein R<sub>b</sub> and R<sub>d</sub> are independently selected from hydrogen or C<sub>1</sub>-C<sub>6</sub>- loweralkyl and R<sub>a</sub> is -N(R<sub>e</sub>)(R<sub>f</sub>), -OR<sub>e</sub> or -SR<sub>e</sub> wherein R<sub>e</sub> and R<sub>f</sub> are independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>- loweralkyl and halo-C<sub>1</sub>-C<sub>6</sub>- alkyl, (III) R<sub>180</sub>NH(CH<sub>2</sub>)<sub>2</sub>NHCH<sub>2</sub>C(O)- or R<sub>180</sub>NH(CH<sub>2</sub>)<sub>2</sub>OCH<sub>2</sub>C(O)- wherein R<sub>180</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub>- loweralkyl, benzyl, C<sub>3</sub>-C<sub>7</sub>- cycloalkyl-C<sub>1</sub>-C<sub>6</sub>- alkyl.

C<sub>1</sub>-C<sub>6</sub>- alkanoyl or benzoyl. (iv) -C(O)CH<sub>2</sub>NR<sub>200</sub>R<sub>201</sub> wherein the group -NR<sub>200</sub>R<sub>201</sub> forms a nitrogen-containing heterocycle selected from aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl and thiomorpholinyl.  
(v) H<sub>2</sub>O<sub>3</sub>P- or (vi) -C(O)CH<sub>2</sub>CH<sub>2</sub>COOH and wherein the prodrug is a compound wherein a hydroxy group is functionalized with a substituent of the formula -CH(R<sub>g</sub>)OC(O)R<sub>181</sub> or -CH(R<sub>g</sub>)OC(S)R<sub>181</sub> wherein R<sub>181</sub> is C<sub>1</sub>-C<sub>6</sub>- loweralkyl, halo-C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- alkoxy, benzyloxy, C<sub>1</sub>-C<sub>6</sub>- thioalkoxy, benzyl-S- or halo-C<sub>1</sub>-C<sub>6</sub>- alkoxy and R<sub>g</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub>- loweralkyl, halo-C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- alkoxycarbonyl, benzyloxycarbonyl, aminocarbonyl, C<sub>1</sub>-C<sub>6</sub>- alkylaminocarbonyl or di-C<sub>1</sub>-C<sub>6</sub>- alkylaminocarbonyl.

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2. (twice amended) A compound of the formula:



wherein R<sub>1</sub> is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the substituent is selected from (i) C<sub>1</sub>-C<sub>6</sub>- loweralkyl, (ii) C<sub>2</sub>-C<sub>6</sub>- loweralkenyl, (iii) C<sub>3</sub>-C<sub>7</sub>- cycloalkyl, (iv) C<sub>3</sub>-C<sub>7</sub>- cycloalkyl-C<sub>1</sub>-C<sub>6</sub>- alkyl, (v) C<sub>5</sub>-C<sub>7</sub>- cycloalkenyl, (vi) C<sub>5</sub>-C<sub>7</sub>- cycloalkenyl-C<sub>1</sub>-C<sub>6</sub>- alkyl, (vii) C<sub>1</sub>-C<sub>6</sub>- alkoxy-C<sub>1</sub>-C<sub>6</sub>- alkyl or benzyloxy-C<sub>1</sub>-C<sub>6</sub>- alkyl, (viii) C<sub>1</sub>-C<sub>6</sub>- thioalkoxy-C<sub>1</sub>-C<sub>6</sub>- alkyl or benzyl-S-C<sub>1</sub>-C<sub>6</sub>- alkyl, (ix) C<sub>1</sub>-C<sub>6</sub>- alkylamino, (x) di-C<sub>1</sub>-C<sub>6</sub>- alkylamino, (xi) phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from halo, C<sub>1</sub>-C<sub>6</sub>- loweralkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>- alkoxy [and] benzyloxy, C<sub>1</sub>-C<sub>6</sub>- thioalkoxy and benzyl-S-, (xii) phenyl-C<sub>1</sub>-C<sub>6</sub>- alkyl wherein the phenyl ring is unsubstituted or substituted as defined above, (xiii) di-C<sub>1</sub>-C<sub>6</sub>- alkylamino-C<sub>1</sub>-C<sub>6</sub>- alkyl, (xiv) C<sub>1</sub>-C<sub>6</sub>- alkoxy or benzyloxy and (xv) C<sub>1</sub>-C<sub>6</sub>- thioalkoxy or benzyl-S-;

n is 1 [ 2 or 3 ] ;

R<sub>2</sub> is hydrogen or C<sub>1</sub>-C<sub>6</sub>- loweralkyl;

R<sub>3</sub> is C<sub>1</sub>-C<sub>6</sub>- loweralkyl;

R<sub>4</sub> is phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from (i) halo, (ii) C<sub>1</sub>-C<sub>6</sub>- loweralkyl, (iii) hydroxy, (iv) C<sub>1</sub>-C<sub>6</sub>- alkoxy or benzyloxy and

(v) C<sub>1</sub>-C<sub>6</sub>-thioalkoxy or benzyl-S-;

R<sub>5</sub> is hydrogen, halo, C<sub>1</sub>-C<sub>6</sub>-loweralkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, benzyloxy [or].

, C<sub>1</sub>-C<sub>6</sub>-thioalkoxy or benzyl-S-;

R<sub>6</sub> is hydrogen or C<sub>1</sub>-C<sub>6</sub>-loweralkyl;

*D / Cont*  
R<sub>7</sub> is thiazolyl or oxazolyl wherein the thiazolyl or oxazolyl ring is unsubstituted or substituted with C<sub>1</sub>-C<sub>6</sub>-loweralkyl;

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X is hydrogen and Y is -OH [or X is -OH and Y is hydrogen, with the proviso that X is hydrogen and Y is -OH when Z is -N(R<sub>8</sub>)- and R<sub>7</sub> is unsubstituted and with the proviso that X is hydrogen and Y is -OH when R<sub>3</sub> is methyl and R<sub>7</sub> is unsubstituted];

Z is absent, -O-, -S-, -CH<sub>2</sub>- or -N(R<sub>8</sub>)- wherein R<sub>8</sub> is C<sub>1</sub>-C<sub>6</sub>-loweralkyl, C<sub>3</sub>-C<sub>7</sub>-cycloalkyl, -OH or -NHR<sub>8a</sub> wherein R<sub>8a</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-loweralkyl or an N-protecting group; or a pharmaceutically acceptable salt, ester or prodrug thereof, wherein the acyl residue of the ester is (i) R<sup>\*</sup>C(O)- or R<sup>\*</sup>C(S)- wherein R<sup>\*</sup> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-loweralkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, benzyloxy, C<sub>1</sub>-C<sub>6</sub>-thioalkoxy, benzyl-S-, C<sub>1</sub>-C<sub>6</sub>-alkoxy-C<sub>1</sub>-C<sub>6</sub>-alkyl, benzyloxy-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-thioalkoxy-C<sub>1</sub>-C<sub>6</sub>-alkyl, benzyl-S-C<sub>1</sub>-C<sub>6</sub>-alkyl or halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy, (ii) R<sub>a</sub>-C(R<sub>b</sub>)(R<sub>d</sub>)-C(O)- or R<sub>a</sub>-C(R<sub>b</sub>)(R<sub>d</sub>)-C(S)- wherein R<sub>b</sub> and R<sub>d</sub> are independently selected from hydrogen or C<sub>1</sub>-C<sub>6</sub>-loweralkyl and R<sub>a</sub> is -N(R<sub>e</sub>)(R<sub>f</sub>), -OR<sub>e</sub> or -SR<sub>e</sub> wherein R<sub>e</sub> and R<sub>f</sub> are independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-loweralkyl and halo-C<sub>1</sub>-C<sub>6</sub>-alkyl,  
(iii) R<sub>180</sub>NH(CH<sub>2</sub>)<sub>2</sub>NHCH<sub>2</sub>C(O)- or R<sub>180</sub>NH(CH<sub>2</sub>)<sub>2</sub>OCH<sub>2</sub>C(O)- wherein R<sub>180</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-loweralkyl, benzyl, C<sub>3</sub>-C<sub>7</sub>-cycloalkyl-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkanoyl or benzoyl, (iv) -C(O)CH<sub>2</sub>NR<sub>200</sub>R<sub>201</sub> wherein the group -NR<sub>200</sub>R<sub>201</sub> forms a nitrogen-containing heterocycle selected from aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl and thiomorpholinyl,  
(v) H<sub>2</sub>O<sub>3</sub>P- or (vi) -C(O)CH<sub>2</sub>CH<sub>2</sub>COOH and wherein the prodrug is a compound wherein a hydroxy group is functionalized with a substituent of the formula -CH(R<sub>g</sub>)OC(O)R<sub>181</sub> or -CH(R<sub>g</sub>)OC(S)R<sub>181</sub> wherein R<sub>181</sub> is C<sub>1</sub>-C<sub>6</sub>-loweralkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, benzyloxy, C<sub>1</sub>-C<sub>6</sub>-thioalkoxy, benzyl-S- or halo-C<sub>1</sub>-C<sub>6</sub>-alkoxy and R<sub>g</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-loweralkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, benzyloxycarbonyl, aminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl or di-C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl.

3. (twice amended) The compound of Claim 2 wherein [ R<sub>1</sub> is monosubstituted thiazolyl or monosubstituted oxazolyl wherein the substituent is selected from (i) loweralkyl, (ii) loweralkenyl, (iii) cycloalkyl, (iv) cycloalkylalkyl, (v) cycloalkenyl, (vi) cycloalkenylalkyl, (vii) alkoxyalkyl, (viii) thioalkoxyalkyl, (ix) alkylamino, (x) dialkylamino, (xi) phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from halo, loweralkyl, hydroxy, alkoxy and thioalkoxy, (xii) phenylalkyl wherein the phenyl ring is unsubstituted or substituted as defined above, (xiii) dialkylaminoalkyl, (xiv) alkoxy and (xv) thioalkoxy; n is 1; ] R<sub>2</sub> is hydrogen; R<sub>4</sub> is phenyl [ or thiazolyl ] ; R<sub>5</sub> is hydrogen; R<sub>6</sub> is hydrogen and R<sub>7</sub> is thiazolyl or oxazolyl .

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4. (twice amended) The compound of [Claim 2] Claim 3 wherein [ R<sub>1</sub> is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is selected from (i) loweralkyl, (ii) loweralkenyl, (iii) cycloalkyl, (iv) cycloalkylalkyl, (v) cycloalkenyl, (vi) cycloalkenylalkyl, (vii) alkoxyalkyl, (viii) thioalkoxyalkyl, (ix) alkylamino, (x) dialkylamino, (xi) phenyl wherein the phenyl ring is unsubstituted or substituted with a substituent selected from halo, loweralkyl, hydroxy, alkoxy and thioalkoxy, (xii) phenylalkyl wherein the phenyl ring is unsubstituted or substituted as defined above, (xiii) dialkylaminoalkyl, (xiv) alkoxy and (xv) thioalkoxy; n is 1; R<sub>2</sub> is hydrogen; R<sub>4</sub> is phenyl; R<sub>5</sub> is hydrogen; R<sub>6</sub> is hydrogen and ] R<sub>7</sub> is 5-thiazolyl or 5-oxazolyl .

5. (twice amended) The compound of Claim 2 wherein R<sub>1</sub> is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is C<sub>1</sub>-C<sub>6</sub>-loweralkyl; [ n is 1 ]; R<sub>2</sub> is hydrogen; R<sub>4</sub> is phenyl; R<sub>5</sub> is hydrogen; R<sub>6</sub> is hydrogen; R<sub>7</sub> is 5-thiazolyl or 5-oxazolyl ; and Z is -O- or -N(R<sub>8</sub>)- wherein R<sub>8</sub> is C<sub>1</sub>-C<sub>6</sub>-loweralkyl.

6. (twice amended) The compound of Claim 2 wherein R<sub>1</sub> is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is ethyl or isopropyl; [ n is 1 ]; R<sub>2</sub> is hydrogen; R<sub>3</sub> is methyl or isopropyl; R<sub>4</sub> is phenyl; R<sub>5</sub> is hydrogen; R<sub>6</sub> is hydrogen; R<sub>7</sub> is 5-thiazolyl or 5-oxazolyl ; and Z is -O-.

7. (twice amended) The compound of Claim 2 wherein R<sub>1</sub> is 2-monosubstituted-4-thiazolyl or 2-monosubstituted-4-oxazolyl wherein the substituent is ethyl or isopropyl; [ n is 1 ]; R<sub>2</sub> is hydrogen; R<sub>3</sub> is isopropyl; R<sub>4</sub> is phenyl; R<sub>5</sub> is hydrogen; R<sub>6</sub> is hydrogen; R<sub>7</sub> is 5-thiazolyl or 5-oxazolyl ; and Z is -N(R<sub>8</sub>)- wherein R<sub>8</sub> is methyl [ ; X is hydrogen and Y is -OH ] .

8. (amended) (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt, ester or prodrug thereof , wherein the acyl residue of the ester is (i) R\*C(O)- or R\*C(S)- wherein R\* is hydrogen, C<sub>1</sub>-C<sub>6</sub>-loweralkyl, halo-C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, benzyloxy, C<sub>1</sub>-C<sub>6</sub>-thioalkoxy,

benzyl-S- C<sub>1</sub>- C<sub>6</sub>- alkoxy - C<sub>1</sub>- C<sub>6</sub>- alkyl, benzyloxy - C<sub>1</sub>- C<sub>6</sub>- alkyl, C<sub>1</sub>- C<sub>6</sub>- thioalkoxy - C<sub>1</sub>- C<sub>6</sub>- alkyl, benzyl-S- C<sub>1</sub>- C<sub>6</sub>- alkyl or halo - C<sub>1</sub>- C<sub>6</sub>- alkoxy. (ii) R<sub>a</sub>-C(R<sub>b</sub>)(R<sub>d</sub>)-C(O)- or R<sub>a</sub>-C(R<sub>b</sub>)(R<sub>d</sub>)-C(S)- wherein R<sub>b</sub> and R<sub>d</sub> are independently selected from hydrogen or C<sub>1</sub>- C<sub>6</sub>- loweralkyl and R<sub>a</sub> is -N(R<sub>e</sub>)(R<sub>f</sub>), -OR<sub>e</sub> or -SR<sub>e</sub> wherein R<sub>e</sub> and R<sub>f</sub> are independently selected from hydrogen. C<sub>1</sub>- C<sub>6</sub>- loweralkyl and halo - C<sub>1</sub>- C<sub>6</sub>- alkyl.  
(iii) R<sub>180</sub>NH(CH<sub>2</sub>)<sub>2</sub>NHCH<sub>2</sub>C(O)- or R<sub>180</sub>NH(CH<sub>2</sub>)<sub>2</sub>OCH<sub>2</sub>C(O)- wherein R<sub>180</sub> is hydrogen. C<sub>1</sub>- C<sub>6</sub>- loweralkyl, benzyl, C<sub>3</sub>- C<sub>7</sub>- cycloalkyl - C<sub>1</sub>- C<sub>6</sub>- alkyl, C<sub>1</sub>- C<sub>6</sub>- alkanoyl or benzoyl, (iv) -C(O)CH<sub>2</sub>NR<sub>200</sub>R<sub>201</sub> wherein the group -NR<sub>200</sub>R<sub>201</sub> forms a nitrogen-containing heterocycle selected from aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl and thiomorpholinyl.  
(v) H<sub>2</sub>O<sub>3</sub>P- or (vi) -C(O)CH<sub>2</sub>CH<sub>2</sub>COOH and wherein the prodrug is a compound wherein a hydroxy group is functionalized with a substituent of the formula -CH(R<sub>g</sub>)OC(O)R<sub>181</sub> or -CH(R<sub>g</sub>)OC(S)R<sub>181</sub> wherein R<sub>181</sub> is C<sub>1</sub>- C<sub>6</sub>- loweralkyl, halo - C<sub>1</sub>- C<sub>6</sub>- alkyl, C<sub>1</sub>- C<sub>6</sub>- alkoxy, benzyloxy, C<sub>1</sub>- C<sub>6</sub>- thioalkoxy, benzyl-S- or halo - C<sub>1</sub>- C<sub>6</sub>- alkoxy and R<sub>g</sub> is hydrogen. C<sub>1</sub>- C<sub>6</sub>- loweralkyl, halo - C<sub>1</sub>- C<sub>6</sub>- alkyl, C<sub>1</sub>- C<sub>6</sub>- alkoxycarbonyl, benzyloxycarbonyl, aminocarbonyl, C<sub>1</sub>- C<sub>6</sub>- alkylaminocarbonyl or di-C<sub>1</sub>- C<sub>6</sub>- alkylaminocarbonyl.

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9. (amended) (2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)-amino)carbonylvalinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt, ester or prodrug thereof, wherein the acyl residue of the ester is (i) R\*C(O)- or R\*C(S)- wherein R\* is hydrogen, C<sub>1</sub>- C<sub>6</sub>- loweralkyl, halo - C<sub>1</sub>- C<sub>6</sub>- alkyl, C<sub>1</sub>- C<sub>6</sub>- alkoxy, benzyloxy, C<sub>1</sub>- C<sub>6</sub>- thioalkoxy, benzyl-S- C<sub>1</sub>- C<sub>6</sub>- alkoxy - C<sub>1</sub>- C<sub>6</sub>- alkyl, benzyloxy - C<sub>1</sub>- C<sub>6</sub>- alkyl, C<sub>1</sub>- C<sub>6</sub>- thioalkoxy - C<sub>1</sub>- C<sub>6</sub>- alkyl, benzyl-S- C<sub>1</sub>- C<sub>6</sub>- alkyl or halo - C<sub>1</sub>- C<sub>6</sub>- alkoxy, (ii) R<sub>a</sub>-C(R<sub>b</sub>)(R<sub>d</sub>)-C(O)- or R<sub>a</sub>-C(R<sub>b</sub>)(R<sub>d</sub>)-C(S)- wherein R<sub>b</sub> and R<sub>d</sub> are independently selected from hydrogen or C<sub>1</sub>- C<sub>6</sub>- loweralkyl and R<sub>a</sub> is -N(R<sub>e</sub>)(R<sub>f</sub>), -OR<sub>e</sub> or -SR<sub>e</sub> wherein R<sub>e</sub> and R<sub>f</sub> are independently selected from hydrogen, C<sub>1</sub>- C<sub>6</sub>- loweralkyl and halo - C<sub>1</sub>- C<sub>6</sub>- alkyl, (iii) R<sub>180</sub>NH(CH<sub>2</sub>)<sub>2</sub>NHCH<sub>2</sub>C(O)- or R<sub>180</sub>NH(CH<sub>2</sub>)<sub>2</sub>OCH<sub>2</sub>C(O)- wherein R<sub>180</sub> is hydrogen, C<sub>1</sub>- C<sub>6</sub>- loweralkyl, benzyl, C<sub>3</sub>- C<sub>7</sub>- cycloalkyl - C<sub>1</sub>- C<sub>6</sub>- alkyl, C<sub>1</sub>- C<sub>6</sub>- alkanoyl or benzoyl, (iv) -C(O)CH<sub>2</sub>NR<sub>200</sub>R<sub>201</sub> wherein the group -NR<sub>200</sub>R<sub>201</sub> forms a nitrogen-containing heterocycle selected from aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl and thiomorpholinyl, (v) H<sub>2</sub>O<sub>3</sub>P- or (vi) -C(O)CH<sub>2</sub>CH<sub>2</sub>COOH and wherein the prodrug is a compound wherein a hydroxy group is functionalized with a substituent of the formula -CH(R<sub>g</sub>)OC(O)R<sub>181</sub> or -CH(R<sub>g</sub>)OC(S)R<sub>181</sub> wherein R<sub>181</sub> is C<sub>1</sub>- C<sub>6</sub>- loweralkyl, halo - C<sub>1</sub>- C<sub>6</sub>- alkyl, C<sub>1</sub>- C<sub>6</sub>- alkoxy, benzyloxy, C<sub>1</sub>- C<sub>6</sub>- thioalkoxy, benzyl-S- or halo - C<sub>1</sub>- C<sub>6</sub>- alkoxy and R<sub>g</sub> is hydrogen. C<sub>1</sub>- C<sub>6</sub>- loweralkyl, halo - C<sub>1</sub>- C<sub>6</sub>- alkyl, C<sub>1</sub>- C<sub>6</sub>- alkoxycarbonyl, benzyloxycarbonyl, aminocarbonyl,

C<sub>1</sub>-C<sub>6</sub>- alkylaminocarbonyl or di - C<sub>1</sub>-C<sub>6</sub>- alkylaminocarbonyl

10. (twice amended) A compound selected from the group consisting of:
- (2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)-amino)carbonyl)alaninyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- (2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- (2S,3S,5S)-2-(N-(N-((2-isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- (2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazolyl)methoxycarbonyl)alaninyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- (2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)-valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- (2S,3S,5S)-2-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)-valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- (2S,3S,5S)-5-(N-(N-((2-isopropyl-4-oxazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; **and**
- (2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;
- or a pharmaceutically acceptable salt, ester or prodrug thereof, wherein the acyl residue of the ester is (i) R<sup>\*</sup>C(O)- or R<sup>\*</sup>C(S)- wherein R<sup>\*</sup> is hydrogen, C<sub>1</sub>-C<sub>6</sub>- loweralkyl, halo-C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- alkoxy, benzyloxy, C<sub>1</sub>-C<sub>6</sub>- thioalkoxy, benzyl-S-, C<sub>1</sub>-C<sub>6</sub>- alkoxy-C<sub>1</sub>-C<sub>6</sub>- alkyl, benzyl-O-, C<sub>1</sub>-C<sub>6</sub>- thioalkoxy-C<sub>1</sub>-C<sub>6</sub>- alkyl, benzyl-S-C<sub>1</sub>-C<sub>6</sub>- alkyl or halo-C<sub>1</sub>-C<sub>6</sub>- alkoxy, (ii) R<sub>a</sub>-C(R<sub>b</sub>)(R<sub>d</sub>)-C(O)- or R<sub>a</sub>-C(R<sub>b</sub>)(R<sub>d</sub>)-C(S)- wherein R<sub>b</sub> and R<sub>d</sub> are independently selected from hydrogen or C<sub>1</sub>-C<sub>6</sub>- loweralkyl and R<sub>a</sub> is -N(R<sub>e</sub>)(R<sub>f</sub>), -OR<sub>e</sub> or -SR<sub>e</sub> wherein R<sub>e</sub> and R<sub>f</sub> are independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>- loweralkyl and halo-C<sub>1</sub>-C<sub>6</sub>- alkyl, (iii) R<sub>180</sub>NH(CH<sub>2</sub>)<sub>2</sub>NHCH<sub>2</sub>C(O)- or R<sub>180</sub>NH(CH<sub>2</sub>)<sub>2</sub>OCH<sub>2</sub>C(O)- wherein R<sub>180</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub>- loweralkyl, benzyl, C<sub>3</sub>-C<sub>7</sub>- cycloalkyl-C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- alkanoyl or benzoyl, (iv) -C(O)CH<sub>2</sub>NR<sub>200</sub>R<sub>201</sub> wherein the group -NR<sub>200</sub>R<sub>201</sub> forms a nitrogen-containing heterocycle selected from aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl and thiomorpholinyl, (v) H<sub>2</sub>O<sub>3</sub>P- or (vi) -C(O)CH<sub>2</sub>CH<sub>2</sub>COOH and wherein the prodrug is a compound wherein a hydroxy group is functionalized with a substituent of the formula -CH(R<sub>g</sub>)OC(O)R<sub>181</sub> or -CH(R<sub>g</sub>)OC(S)R<sub>181</sub> wherein R<sub>181</sub> is C<sub>1</sub>-C<sub>6</sub>- loweralkyl, halo-C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- alkoxy, benzyloxy, C<sub>1</sub>-C<sub>6</sub>- thioalkoxy, benzyl-S- or halo-C<sub>1</sub>-C<sub>6</sub>- alkoxy and R<sub>g</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub>- loweralkyl, halo-C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- alkoxycarbonyl, benzyloxycarbonyl, aminocarbonyl, C<sub>1</sub>-C<sub>6</sub>- alkylaminocarbonyl or di-C<sub>1</sub>-C<sub>6</sub>- alkylaminocarbonyl.

*cont*

*B1  
cont'd*

B 2  
12. (amended) A method for inhibiting HIV protease comprising administering to a human in need thereof a therapeutically effective amount of a compound of [Claim 1] Claim 29. 10.

B 3  
15. (amended) A method for inhibiting <sup>19</sup> an HIV Infection comprising administering to a human in need thereof a therapeutically effective amount of a compound of [Claim 1] Claim 29. 10.

B 4  
10 29. (amended) (2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; or a pharmaceutically acceptable salt thereof.

[ ] Please add the following new claims:

16  
-- 30. A pharmaceutical composition for inhibiting HIV protease comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of Claim 29. 10.

17  
31. A pharmaceutical composition for inhibiting an HIV infection comprising a pharmaceutical carrier and a therapeutically effective amount of a compound of Claim 29. 10.

B 5  
32. A compound selected from the group consisting of:  
~~(2S,3S,5S)-5-(N-(N-Methyl-N-((2-cyclohexyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;~~  
~~(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1,1-dimethyl)ethyl-4-thiazolyl)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;~~  
~~(2S,3S,5S)-5-(N-(N-Methyl-N-((2-ethenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;~~  
~~(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;~~  
~~(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-cyclopentenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;~~  
~~(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-cyclohexenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;~~  
~~(2S,3S,5S)-5-(N-(N-Methyl-N-((4-cyclopentenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;~~  
~~(2S,3S,5S)-5-(N-(N-Methyl-N-((4-cyclohexenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;~~

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(3-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-methyl-1-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-methyl-1-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
*D 3 out*  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1,2-dimethyl-1-propenyl)-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
*B 5 out*  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(cyclopentyl)methyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(cyclohexyl)methyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-phenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-benzyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-phenyl)ethyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(4-fluoro)phenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-chloro)phenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(3-methoxy)phenyl-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-methoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-Methyl-N-((2-ethoxy-4-thiazolyl)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropoxy-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(N,N-dimethylamino)methyl-4-thiazoly)-methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)-amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-propyl-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-methyl)propyl-4-thiazoly)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-methyl)propyl-4-thiazoly)methyl)-amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-ethyl)propyl-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Ethyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazoly)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-2-(N-(N-((2-Isopropyl-4-thiazoly)methoxycarbonyl)valinyl)amino)-5-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((2-Isopropyl-4-thiazoly)methoxycarbonyl)alaninyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiazoly)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-2-(N-(N-((2-(N,N-Dimethylamino)-4-thiazoly)methoxycarbonyl)valinyl)amino)-5-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Cyclopropyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)alaninyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-(1-(2-Isopropyl-4-thiazoly)ethoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Cyclopropyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-oxazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-oxazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((4-isopropyl-2-thiazoly)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((2-(N,N-Diethylamino)-4-thiazoly)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((N-Methyl-N-(((N,N-dimethylamino)-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazoly)methoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(methoxymethyl)-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((2-methyl-5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazoly)thiomethoxycarbonyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-(3-(2-isopropyl-4-thiazoly)propanoyl)valinyl)amino)-2-(N-((5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-(1-(5-thiazoly)ethoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-2-(N-(N-Methyl-N-((2-isopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-5-(N-((2-methyl-5-thiazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-cyclopentyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-cyclohexyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-(N-Methyl-N-((2-(1,1-dimethyl)ethyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-cyclobutyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-cyclopropyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-ethyl-4-thiazoly)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

cont'd  
B3

cont'd  
B5

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-ethenyl-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-propenyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-cyclopentenyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-cyclohexenyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((4-cyclopentenyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((4-cyclohexenyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(3-propenyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-propenyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-methyl-1-propenyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-methyl-1-propenyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1,2-dimethyl-1-propenyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(cyclopentyl)methyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(cyclohexyl)methyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-phenyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-benzyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-phenyl)ethyl)-4-thiazoly)methyl)amino)-carbonyl)valinyl)amino)-2-(N-((5-oxazoly)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

*D 3  
Cont*

*B 5  
Cont'd*

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-phenyl-1-ethenyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(4-fluoro)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-chloro)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(3-methoxy)phenyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-thiazolyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-thiazolyl)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-methoxy-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-ethoxy-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropoxy-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(N,N-dimethylamino)methyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(2-methyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-methyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-(1-ethyl)propyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)alaninyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

(2S,3S,5S)-5-(N-(N-((N-Ethyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-2-(N-(N-((2-isopropyl-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazolyl)methoxycarbonyl)alaninyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-2-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-2-(N-(N-((2-(N,N-Dimethylamino)-4-thiazolyl)methoxycarbonyl)valinyl)amino)-5-(N-((5-oxazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-methyl-4-oxazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-(3-pentyl)-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((2-isopropyl)-5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((2-(2-isopropyl-4-thiazolyl)ethoxy)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-2-(N-(N-((2-(2-isopropyl-4-thiazolyl)ethoxy)carbonyl)valinyl)amino)-5-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((2-isopropyl-4-thiazolyl)acetyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-cyclobutyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-ethyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-(1-Propyl)-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-(Isobutyl)-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isopropyl-4-oxazolyl)methyl)amino)carbonyl)alaninyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;

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(2S,3S,5S)-5-(N-(N-Methyl-N-((2-cyclopentyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-((2-isobutyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-Ethyl-N-((2-cyclopentyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-((N-Methyl-N-(2-(2-isopropyl-4-thiazolyl)ethyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane;  
(2S,3S,5S)-5-(N-(N-(N-(tert-Butyloxycarbonylamino)-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane; and  
(2S,3S,5S)-5-(N-(N-(Amino)-N-((2-isopropyl-4-thiazolyl)methyl)amino)carbonyl)valinyl)amino)-2-(N-((5-thiazolyl)methoxycarbonyl)amino)-1,6-diphenyl-3-hydroxyhexane Hydrochloride;  
or a pharmaceutically acceptable salt, ester or prodrug thereof, wherein the acyl residue of the ester is (i) R\*C(O)- or R\*C(S)- wherein R\* is hydrogen, C<sub>1</sub>-C<sub>6</sub>- loweralkyl, halo - C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- alkoxy, benzyloxy, C<sub>1</sub>-C<sub>6</sub>- thioalkoxy, benzyl-S-, C<sub>1</sub>-C<sub>6</sub>- alkoxy - C<sub>1</sub>-C<sub>6</sub>- alkyl, benzyloxy - C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- thioalkoxy - C<sub>1</sub>-C<sub>6</sub>- alkyl, benzyl-S- C<sub>1</sub>-C<sub>6</sub>- alkyl or halo - C<sub>1</sub>-C<sub>6</sub>- alkoxy, (ii) R<sub>a</sub>-C(R<sub>b</sub>)(R<sub>d</sub>)-C(O)- or R<sub>a</sub>-C(R<sub>b</sub>)(R<sub>d</sub>)-C(S)- wherein R<sub>b</sub> and R<sub>d</sub> are independently selected from hydrogen or C<sub>1</sub>-C<sub>6</sub>- loweralkyl and R<sub>a</sub> is -N(R<sub>e</sub>)(R<sub>f</sub>), -OR<sub>e</sub> or -SR<sub>e</sub> wherein R<sub>e</sub> and R<sub>f</sub> are independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>- loweralkyl and halo - C<sub>1</sub>-C<sub>6</sub>- alkyl, (iii) R<sub>180</sub>NH(CH<sub>2</sub>)<sub>2</sub>NHCH<sub>2</sub>C(O)- or R<sub>180</sub>NH(CH<sub>2</sub>)<sub>2</sub>OCH<sub>2</sub>C(O)- wherein R<sub>180</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub>- loweralkyl, benzyl, C<sub>3</sub>-C<sub>7</sub>- cycloalkyl - C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- alkanoyl or benzoyl, (iv) -C(O)CH<sub>2</sub>NR<sub>200</sub>R<sub>201</sub> wherein the group -NR<sub>200</sub>R<sub>201</sub> forms a nitrogen-containing heterocycle selected from aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl and thiomorpholinyl, (v) H<sub>2</sub>O<sub>3</sub>P- or (vi) C(O)CH<sub>2</sub>CH<sub>2</sub>COOH and wherein the prodrug is a compound wherein a hydroxy group is functionalized with a substituent of the formula -CH(R<sub>g</sub>)OC(O)R<sub>181</sub> or -CH(R<sub>g</sub>)OC(S)R<sub>181</sub> wherein R<sub>181</sub> is C<sub>1</sub>-C<sub>6</sub>- loweralkyl, halo - C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- alkoxy, benzyloxy, C<sub>1</sub>-C<sub>6</sub>- thioalkoxy, benzyl-S- or halo - C<sub>1</sub>-C<sub>6</sub>- alkoxy and R<sub>g</sub> is hydrogen, C<sub>1</sub>-C<sub>6</sub>- loweralkyl, halo - C<sub>1</sub>-C<sub>6</sub>- alkyl, C<sub>1</sub>-C<sub>6</sub>- alkoxycarbonyl, benzyloxycarbonyl, aminocarbonyl, C<sub>1</sub>-C<sub>6</sub>- alkylaminocarbonyl or di - C<sub>1</sub>-C<sub>6</sub>- alkylaminocarbonyl . --

#### REMARKS

This is a response to the Office Action (made final) dated December 28, 1994. In the Office Action, the Examiner has (1) objected to the specification and rejected Claims 1-7 and 12-20 under 35 U.S.C. 112 (first and second paragraphs), (2) rejected Claims 8 and 29 under 35 U.S.C. 112 (second paragraph) and (3) objected to Claims 9-10. In this response, Applicants request reconsideration of the rejections.

In this response, Applicants also submit an inventorship amendment.